

# SABINSA CORPORATION

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## Alpha-Lipoic Acid : An Efficient Antioxidant

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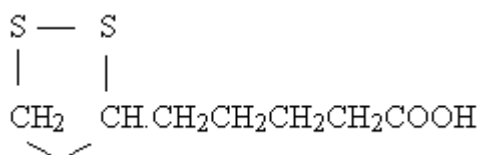
$\alpha$ -Lipoic acid also known as thioctic acid, plays an important role in metabolic processes. It functions as a co-factor for a number of key enzymes that help in the conversion of glucose, fatty acids and other energy sources into ATP.  $\alpha$ -Lipoic acid is thus important in the life processes of all living organisms.

Free radicals are important mediators in the development of various diseases<sup>1</sup>. Antioxidant nutrients help to protect the body against oxidative damage that can trigger the heart disease, cancer, aging and about several other degenerative diseases.  $\alpha$ -Lipoic acid is an antioxidant that prevents free-radical damage. This compound if present in excess of the amounts required for basic enzymatic processes, functions as a biological antioxidant, inhibiting free radical reactions in the tissues. In view of the role of  $\alpha$ -lipoic acid in energy metabolism, researchers over the last four decades performed detailed studies on the biological activity of this unique compound. These studies focus on how the compound improves the physique, combats free radicals, protects genetic material, slows aging and helps to protect the body against diseases including heart disease and cancer, according to Dr. Richard A. Passwater<sup>2</sup>.

### **The unique chemical properties of alpha-Lipoic Acid**

$\alpha$ -Lipoic acid has the molecular formula  $C_8H_{14}O_2 S_2$  and molecular weight 206.32

The chemical structure of the  $\alpha$ -lipoic acid molecule (Figure 1) is responsible for its wide range of actions and its active involvement in metabolic processes, particularly the glycolytic or "energy" cycle.



**Figure 1 : Structure of  $\alpha$ -Lipoic Acid**

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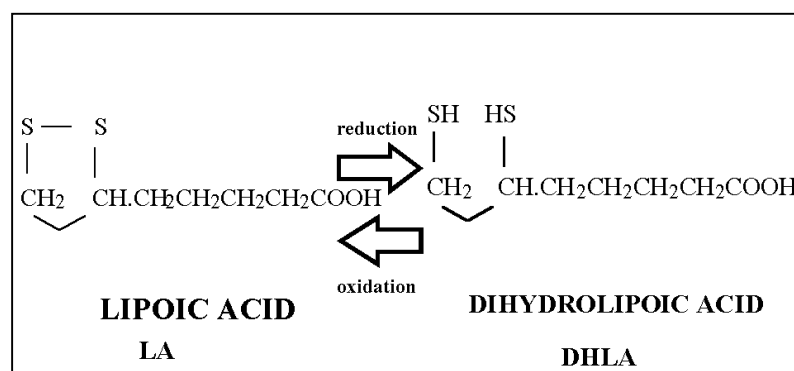
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The molecule consists of an 8 carbon fatty acid chain with two interlinked sulphur atoms attached. When each sulfur atom picks up a hydrogen, breaking the bond between the sulfur atoms, the molecule is "reduced" to dihydrolipoic acid, DHLA which can be readily oxidized to lipoic acid (LA) (Figure 2). The "redox couple" formed by DHLA and LA can transfer hydrogen atoms or electrons back and forth and therefore help in complex enzymatic processes and also assist in regenerating other antioxidants. In the glycolytic energy production cycle, the -COOH group in the molecule releases and recovers



carbon dioxide.<sup>1</sup>

### Figure 2 : Redox Couple formed by Lipoic Acid and Dihydrolipoic acid

The commercial product is dl- $\alpha$ -lipoic acid, which is a blend of the R- and S-enantiomeric forms of the compound. The S-enantiomer inhibits insulin action on glucose uptake to some degree, while the R-enantiomer stimulates this action, the net effect being a positive regulation of blood sugar levels<sup>1</sup>.

### Biological effects

$\alpha$ -Lipoic acid has been called the "perfect" antioxidant. It is proven to quench hydroxyl radicals, hypochlorous acid and singlet oxygen as well as to chelate metal ions such as iron, copper and cadmium.  $\alpha$ -Lipoic acid as DHLA helps to recycle Vitamins C and E in the body and increases the levels of CoQ (ubiquinone) which recycles Vitamin E. By virtue of its high absorption and bioavailability, it rapidly reaches effective concentrations in the tissues, both in the aqueous and lipid regions of the body<sup>1</sup>.

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The salient features of  $\alpha$ -lipoic acid as a biological antioxidant include the following:

- It is both fat and water soluble
- It is proven to quench hydroxyl radicals, hypochlorous acid and singlet oxygen which have been implicated in free radical chain reactions.
- It is proven to chelate metal ions such as iron, copper, cadmium which catalyze autooxidation reactions
- $\alpha$ -Lipoic acid as DHLA helps to recycle vitamins C and E in the body
- $\alpha$ -Lipoic acid increases the levels of CoQ (ubiquinone) which recycles Vitamin E.
- By virtue of its high absorption and bioavailability,  $\alpha$ -Lipoic acid rapidly reaches the tissues in the body.
- $\alpha$ -Lipoic acid regenerates glutathione. Low glutathione levels have been correlated with degenerative disease conditions and aging.

### ***Health benefits of alpha-Lipoic Acid*** ***Management of Diabetes mellitus***

Lipoic acid has been used for nearly 30 years in Europe to treat diabetic neuropathy, help regulate blood sugar and prevent diabetic retinopathy and cardiopathy<sup>2</sup>.  $\alpha$ -Lipoic acid given intra-peritoneally to non-obese diabetes-prone mice before and after a diabetes accelerator, reduced the number of mice who contracted Type I diabetes, in which auto-immune processes destroy the beta cells of the pancreas. The authors of this study postulated that the compound probably suppressed nitric oxide release by macrophages and scavenged free radicals released during the inflammatory process<sup>1</sup>.

$\alpha$ -Lipoic acid at high dose levels has been shown to increase glucose uptake probably through free radical quenching and reaction with sulfhydryl groups which in turn may be required for insulin-mediated glucose transport<sup>1</sup>. In diabetics, proteins tend to bind with glucose, precipitating oxidative damage.  $\alpha$ -Lipoic acid supplementation reduces glycation of proteins, thereby offering protection.  $\alpha$ -lipoic acid also protects against cholesterol oxidation and the consequent atherosclerosis in diabetics as well as in others at risk of cardiovascular disease.

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### **Protection against nerve damage and memory enhancement**

By virtue of its antioxidant action, lipoic acid not only protects the nervous system, but also may also be involved in regenerating the nerves. It is also being studied in the treatment of Parkinson's disease and Alzheimer's disease<sup>2</sup>.  $\alpha$ -Lipoic acid has been proven to improve memory in aged mice in an open-field memory test<sup>1</sup>.

### **Reversing radiation-induced oxidative damage**

Researchers found that  $\alpha$ -lipoic acid, alone or together with vitamin E, is an effective treatment for radiation exposure, lessening indices of oxidative damage and normalizing organ function. This therapy has been effective in treating children living in areas affected by the Chernobyl accident in the former Soviet Union<sup>1</sup>.

### **Protection from cataract formation**

One group of researchers studied the development of chemically induced cataracts in laboratory animals. They found that supplementation with lipoic acid protected 60 percent of the animals from cataract formation. Concentrations of glutathione, vitamin C and vitamin E, which decreased during administration of the cataract-inducing chemical, were restored to levels similar to the controls.  $\alpha$ -Lipoic acid also restored the protein complexes glutathione peroxidase and catalase, as well as ascorbate free radical reductase activities, in the lens of the animals<sup>3</sup>. Cataracts are believed to form when excess blood sugar impedes the replacement of Vitamin C.  $\alpha$ -Lipoic acid is proven to replenish Vitamin C by virtue of its unique antioxidant action.

### ***Use in heavy metal poisoning***

The capacity of  $\alpha$ -lipoic acid to chelate metal ions enables the compound when administered in high doses, to be an effective antidote to heavy metal poisoning.

### **Prevention of Ischemia-reperfusion injury**

This type of injury occurs when oxygen-starved material is suddenly oxygenated such as when clot-dissolving drugs are used after heart attacks,

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strokes or head trauma.  $\alpha$ - Lipoic acid offers protection against oxidation, thereby preventing injury.

Studies performed to date on animal models and human beings revealed no serious side effects with the use of  $\alpha$ -lipoic acid<sup>1</sup>. It is not recommended for children or pregnant/ nursing women except under medical supervision. Thiamine-deficient individuals, such as alcoholics should also use this product with caution<sup>1</sup>.  $\alpha$ -Lipoic acid is a valuable antioxidant with far - reaching beneficial pharmacological actions.

### **References**

1. Packer, Lester, Ph.D., et al. (1995). Alpha-Lipoic Acid As A Biological Antioxidant, *Free Radical Biology and Medicine* 19(2):227-250.
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3. Maitra, I. et al. (1995) " $\alpha$ -Lipoic Acid Prevents Buthionine Sulfoximine-Induced Cataract Formation in Newborn Rats," *Free Radical Biology and Medicine* 18:823-829.

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